10/684,229

STN - Structure Search 12/27/04

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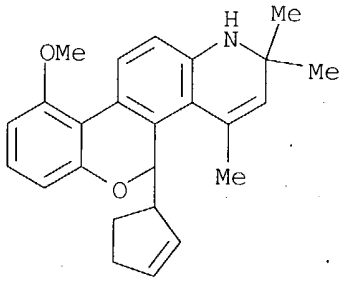
L4 ANSWER 1 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:214116 CAPLUS
DOCUMENT NUMBER: 140:417247
TITLE: Differentiation of in vitro transcriptional repression and activation profiles of selective glucocorticoid modulators
AUTHOR(S): Elmore, Steven W.; Pratt, John K.; Coghlan, Michael J.; Mao, Yue; Green, Brian E.; Anderson, David D.; Stashko, Michael A.; Lin, Chun W.; Falls, Douglas; Nakane, Masaki; Miller, Loan; Tyree, Curtis M.; Miner, Jeffrey N.; Lane, Ben
CORPORATE SOURCE: Global Pharmaceutical Research and Development, Abbott Laboratories, Abbott Park, IL, 60064-3500, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2004), 14(7), 1721-1727
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The SAR at C-5 of the 10-methoxy-2,2,4-trimethylbenzopyrano[3,4-f]quinoline core leading to identification of (-) anti 1-methylcyclohexen-3-yl as the optimum substituent that imparts minimal GR mediated in vitro transcriptional activation while maintaining full transcriptional repression is described. The in vitro profile of these candidates in human cell assays relevant to the therapeutic window of glucocorticoid modulators is outlined.

IT **239068-04-3P 239068-05-4P 239068-08-7P**
239068-10-1P 239068-11-2P 239068-21-4P
239068-24-7P 239083-18-2P 691850-80-3P
691850-82-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(differentiation of in vitro transcriptional repression and activation profiles of selective glucocorticoid modulators)

RN 239068-04-3 CAPLUS
CN 1H-[1]Benzopyrano[3,4-f]quinoline, 5-(2-cyclopenten-1-yl)-2,5-dihydro-10-methoxy-2,2,4-trimethyl- (9CI) (CA INDEX NAME)



RN 239068-05-4 CAPLUS
CN 1H-[1]Benzopyrano[3,4-f]quinoline, 5-(2-cyclohexen-1-yl)-2,5-dihydro-10-methoxy-2,2,4-trimethyl- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:5178 CAPLUS
DOCUMENT NUMBER: 140:71528
TITLE: Structure of a glucocorticoid receptor ligand binding domain comprising an expanded binding pocket, and methods using nuclear receptors structure for drug design
INVENTOR(S): Bledsoe, Randy K.; Lambert, Millard Hurst, III; Montana, Valerie Gail; Stewart, Eugene Lee; Xu, Eric Huayiang
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: Eur. Pat. Appl., 767 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

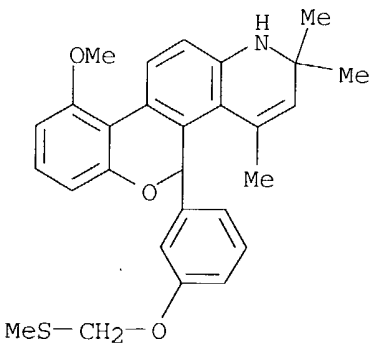
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1375517	A1	20040102	EP 2003-76899	20030617

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
PRIORITY APPLN. INFO.: US 2002-390610P P 20020621

AB A solved three-dimensional crystal structure of a glucocorticoid receptor (GR) α ligand binding domain polypeptide is disclosed, in the form of a crystalline glucocorticoid receptor α ligand binding domain polypeptide in complex with the ligand fluticasone propionate (FP) and a peptide derived from the co-activator TIF2. The GR/FP/TIF2 structure includes an expanded binding pocket not seen in other GR structures. Methods of designing steroid and non-steroid modulators of the biol. activity of GR and other nuclear receptors (NRs) are also disclosed. In another aspect of the present invention homol. models of androgen receptor (AR), progesterone receptor (PR) and mineralocorticoid receptor (MR) are disclosed, as well as methods of forming homol. models for other NRs. Methods of forming a soluble GR/FP/TIF2 complex are also disclosed.

IT **239067-64-2**, A 222977
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(as a non-steroidal GR ligand; structure of a glucocorticoid receptor (GR) ligand binding domain comprising an expanded binding pocket, and methods using nuclear receptor complexes structure for drug design)

RN 239067-64-2 CAPLUS
CN 1H-[1]Benzopyrano[3,4-f]quinoline, 2,5-dihydro-10-methoxy-2,2,4-trimethyl-5-[3-[(methylthio)methoxy]phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

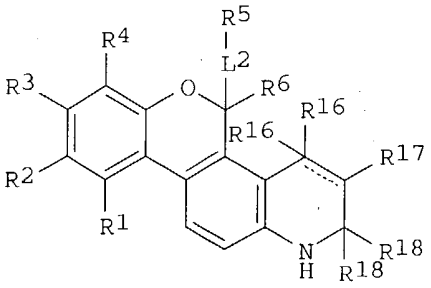
L4 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:35357 CAPLUS
DOCUMENT NUMBER: 138:89796
TITLE: Preparation of glucocorticoid-selective benzopyrano[3,4-f]quinolines as antiinflammatory agents
INVENTOR(S): Coghlan, Michael J.; Edwards, James P.; Elmore, Steven W.; Jones, Todd K.; Kort, Michael E.; Kym, Philip R.; Moore, Jimmie L.; Pratt, John K.; Wang, Alan X.
PATENT ASSIGNEE(S): Abbott Laboratories, USA; Ligand Pharmaceuticals Incorporated
SOURCE: U.S., 119 pp., Cont.-in-part of U.S. Ser. No. 247,831, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6506766	B1	20030114	US 2000-610638	20000705
CA 2415037	AA	20020110	CA 2001-2415037	20010627
WO 2002002565	A2	20020110	WO 2001-US20423	20010627
WO 2002002565	A3	20020530		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1299392	A2	20030409	EP 2001-948754	20010627
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001012160	A	20031007	BR 2001-12160	20010627
JP 2004502693	T2	20040129	JP 2002-507817	20010627
US 2003073703	A1	20030417	US 2002-201524	20020723

PRIORITY APPLN. INFO.:

US 1998-74666P	P	19980213
US 1999-247831	B2	19990210
US 2000-610638	A	20000705
WO 2001-US20423	W	20010627

OTHER SOURCE(S): MARPAT 138:89796
GI



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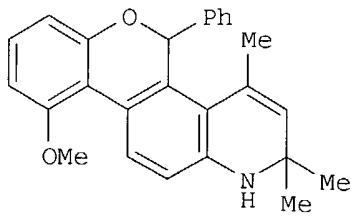

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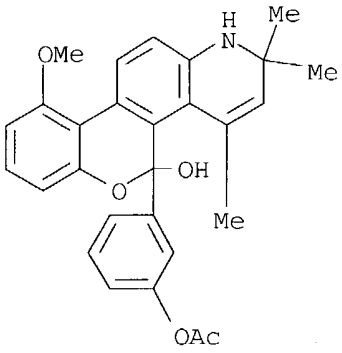
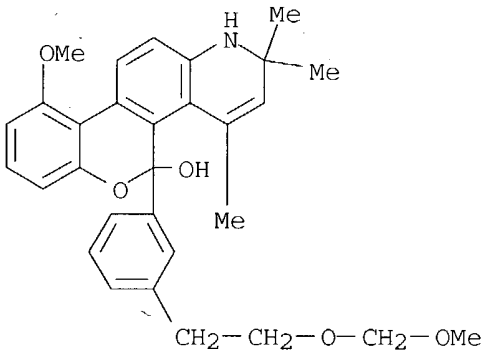
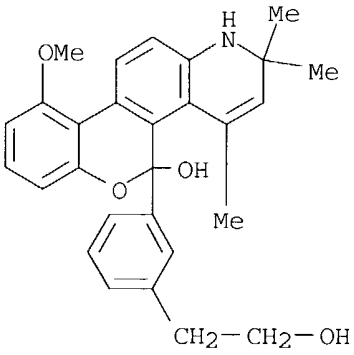


RN 389090-88-4 CAPLUS
CN 1H-[1]Benzopyrano[3,4-f]quinolin-5-ol, 2,5-dihydro-10-methoxy-5-[3-[2-(methoxymethoxy)ethyl]phenyl]-2,2,4-trimethyl- (9CI) (CA INDEX NAME)



RN 389090-89-5 CAPLUS
CN 1H-[1]Benzopyrano[3,4-f]quinolin-5-ol, 2,5-dihydro-5-[3-(2-hydroxyethyl)phenyl]-10-methoxy-2,2,4-trimethyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:577809 CAPLUS
DOCUMENT NUMBER: 138:147365
TITLE: Trans-activation and repression properties of the novel nonsteroid glucocorticoid receptor ligand 2,5-dihydro-9-hydroxy-10-methoxy-2,2,4-trimethyl-5-(1-

methylcyclohexen-3-yl)-1H-[1]benzopyrano[3,4-f]quinoline (A276575) and its four stereoisomers

AUTHOR(S): Lin, Chun Wei; Nakane, Masaki; Stashko, Mike; Falls, Doug; Kuk, Jane; Miller, Loan; Huang, Ruth; Tyree, Curtis; Miner, Jeffrey N.; Rosen, John; Kym, Philip R.; Coghlan, Mike J.; Carter, George; Lane, Ben C.

CORPORATE SOURCE: Immunoscience Department, Pharmaceutical Discovery Division, Abbott Laboratories, Abbott Park, IL, USA

SOURCE: Molecular Pharmacology (2002), 62(2), 297-303
CODEN: MOPMA3; ISSN: 0026-895X

PUBLISHER: American Society for Pharmacology and Experimental Therapeutics

DOCUMENT TYPE: Journal

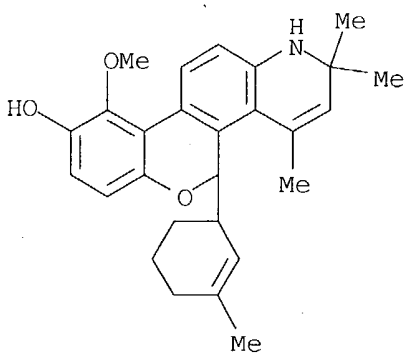
LANGUAGE: English

AB Glucocorticoids are potent anti-inflammatory and immunosuppressant agents. However, they also produce serious side effects that limit their usage. It has been proposed that anti-inflammatory properties of glucocorticoids are caused mostly by repression of activator protein 1- and nuclear factor κβ-stimulated synthesis of inflammatory mediators, whereas most of their adverse effects are associated with trans-activation of genes involved with metabolic processes. The authors' labs. have sought to discover novel glucocorticoid receptor (GR) ligands that have high repression but low trans-activation activities. The authors describe here cellular properties of 2,5-dihydro-9-hydroxy-10-methoxy-2,2,4-trimethyl-5-(1-methylcyclohexen-3-yl)-1H-[1]benzopyrano[3,4-f]quinoline (A276575) and its four enantiomers. Similar to dexamethasone, A276575 exhibited high affinity for GR and potently repressed interleukin (IL) 1β-stimulated IL-6 production in human skin fibroblasts, prostaglandin (PG) E2 production in A549 human lung epithelial cells, and Con A-induced monocyte proliferation. In contrast to dexamethasone, A276575 caused smaller induction of aromatase activity in human skin fibroblasts and antagonized dexamethasone-induced activation of an mouse mammary tumor virus-glucocorticoid-response element (GRE) reporter gene construct. Among the four enantiomers of A276575, the two (-)-enantiomers showed 10- to 30-fold higher affinities for GR than their resp. (+)-enantiomers. Both (-)-Syn and (-)-Anti enantiomers of A276575 were potent inhibitors of IL-1β-stimulated PGE2 production in A549 lung epithelial cells; unexpectedly, however, only the (-)-Anti enantiomer inhibited regulated on T-cell activation, normal T-cell expressed and secreted (RANTES) production in A549 cells. In summary, A276575 is a novel, nonsteroidal GR ligand that possesses high repression activities against inflammatory mediator production but has lower GRE trans-activation activities than traditional steroids. Differential repression of RANTES and PGE2 production in a cell by the two (-)-enantiomers of A276575 illustrates the complexity of repression by GR.

IT **239069-02-4**, A 276575 **239069-03-5**, A 277574
239069-04-6, A 277575 **239069-05-7**, A 282163
239069-06-8, A 282166
RL: ADV (Adverse effect, including toxicity); DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(trans-activation and repression properties of nonsteroid glucocorticoid receptor ligand A276575 and four stereoisomers compared with dexamethasone in human cells in relation to anti-inflammatory activity)

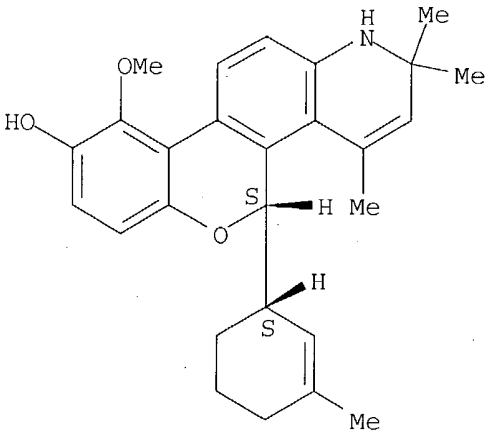
RN 239069-02-4 CAPLUS

CN 1H-[1]Benzopyrano[3,4-f]quinolin-9-ol, 2,5-dihydro-10-methoxy-2,2,4-trimethyl-5-(3-methyl-2-cyclohexen-1-yl)- (9CI) (CA INDEX NAME)



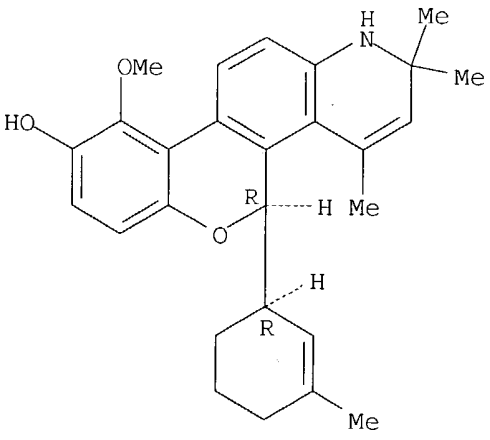
RN 239069-03-5 CAPLUS
CN 1H-[1]Benzopyrano[3,4-f]quinolin-9-ol, 2,5-dihydro-10-methoxy-2,2,4-trimethyl-5-[(1S)-3-methyl-2-cyclohexen-1-yl]-, (5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



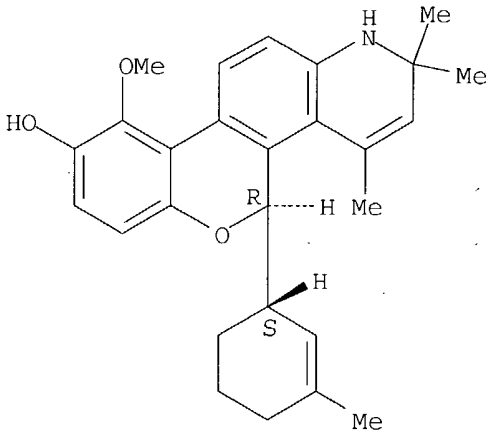
RN 239069-04-6 CAPLUS
CN 1H-[1]Benzopyrano[3,4-f]quinolin-9-ol, 2,5-dihydro-10-methoxy-2,2,4-trimethyl-5-[(1R)-3-methyl-2-cyclohexen-1-yl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



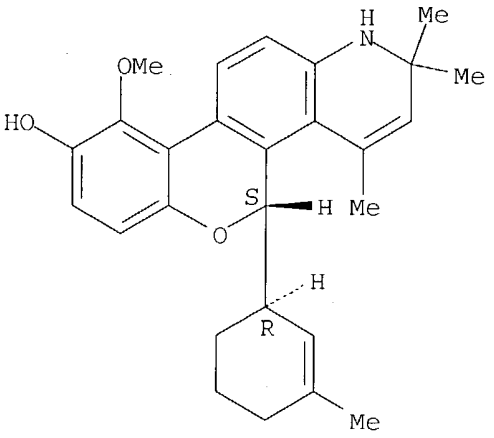
RN 239069-05-7 CAPLUS
CN 1H-[1]Benzopyrano[3,4-f]quinolin-9-ol, 2,5-dihydro-10-methoxy-2,2,4-trimethyl-5-[(1S)-3-methyl-2-cyclohexen-1-yl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 239069-06-8 CAPLUS
CN 1H-[1]Benzopyrano[3,4-f]quinolin-9-ol, 2,5-dihydro-10-methoxy-2,2,4-trimethyl-5-[(1R)-3-methyl-2-cyclohexen-1-yl]-, (5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:31454 CAPLUS
DOCUMENT NUMBER: 136:102372
TITLE: Preparation of glucocorticoid-selective benzopyrano[3,4-f]quinolines as antiinflammatory agents
INVENTOR(S): Coghlan, Michael J.; Edwards, James P.; Elmore, Steven W.; Jones, Todd K.; Kort, Michael E.; Kym, Philip R.; Moore, Jimmie L.; Pratt, John K.; Wang, Alan X.
PATENT ASSIGNEE(S): Abbott Laboratories, USA; Ligand Pharmaceuticals Inc.

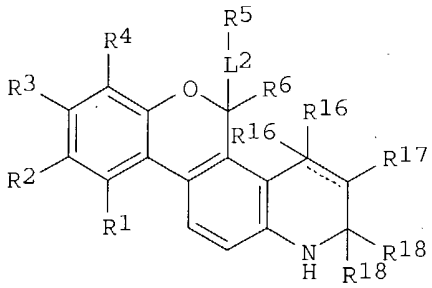
SOURCE: PCT Int. Appl., 316 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002002565	A2	20020110	WO 2001-US20423	20010627
WO 2002002565	A3	20020530		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6506766	B1	20030114	US 2000-610638	20000705
CA 2415037	AA	20020110	CA 2001-2415037	20010627
EP 1299392	A2	20030409	EP 2001-948754	20010627
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001012160	A	20031007	BR 2001-12160	20010627
JP 2004502693	T2	20040129	JP 2002-507817	20010627

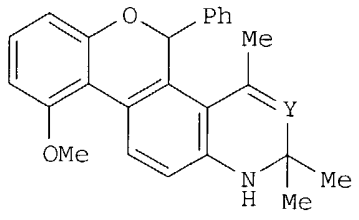
PRIORITY APPLN. INFO.:
US 2000-610638 A 20000705
US 1998-74666P P 19980213
US 1999-247831 B2 19990210
WO 2001-US20423 W 20010627

OTHER SOURCE(S): MARPAT 136:102372

GI

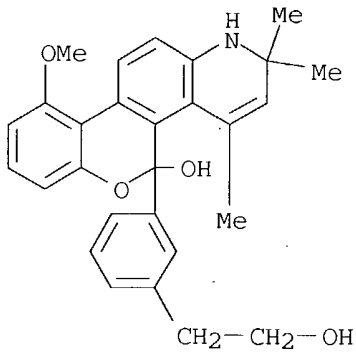


I



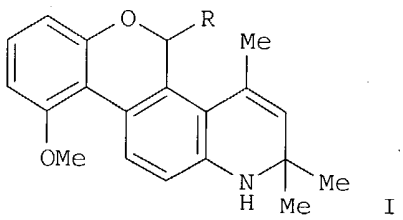
II

AB Title compds. I [wherein R1 = L1RA; L1 = a bond, O, S, SO, SO2, CO, CS, CO2, OCO, or (un)substituted amino, NHCO, CONH, SO2NH, NHSO2, etc.; RA = OH, SH, CO2H, alkoxycarbonyl, CN, halo(alkoxy), CHO, alkyl, alkenyl, alkynyl, or (un)substituted amino, CONH2, etc.; R2, R3, and R4 = independently H or R1; or R1 and R2 taken together may form methylenedioxy, etc.; L2 = a bond, alkynylene, CO, CS, O, S, SO, SO2, or (un)substituted alkylene, amino, etc.; R5 = H, halo, CN, (cyclo)alkyl, alkynyl, heterocyclyl, aryl, etc.; R6 = H or alkyl; or L2R5 and R6 together may form :O, (un)substituted carbocyclic ring, heterocyclic ring, or alkylidene; R16 = independently H or alkyl; or 2 R16 together form an alkenyl; Y = C, N, or N:O; R17 = absent or H or alkyl; R18 = independently H or alkyl; or 2 R18 together form a heterocyclic ring or carbocyclic ring] were prepared as antiinflammatory agents. For example, 2,6-dimethoxyphenylboronic acid (preparation given) was coupled with Me



L4 ANSWER 6 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:817458 CAPLUS
DOCUMENT NUMBER: 136:102306
TITLE: Nonsteroidal Selective Glucocorticoid Modulators: the Effect of C-5 Alkyl Substitution on the Transcriptional Activation/Repression Profile of 2,5-Dihydro-10-methoxy-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinolines
AUTHOR(S): Elmore, Steven W.; Coghlan, Michael J.; Anderson, David D.; Pratt, John K.; Green, Brian E.; Wang, Alan X.; Stashko, Michael A.; Lin, Chun W.; Tyree, Curtis M.; Miner, Jeffery N.; Jacobson, Peer B.; Wilcox, Denise M.; Lane, Benjamin C.
CORPORATE SOURCE: Immunologic Disease Research Pharmaceutical Products Division, Abbott Laboratories, Abbott Park, IL, 60064-3500, USA
SOURCE: Journal of Medicinal Chemistry (2001), 44(25), 4481-4491
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:102306
GI

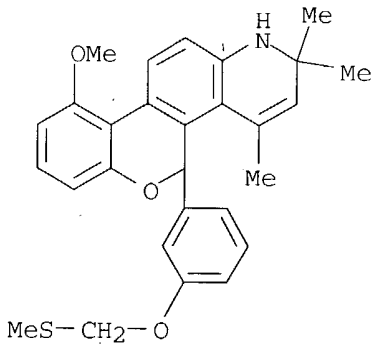


I

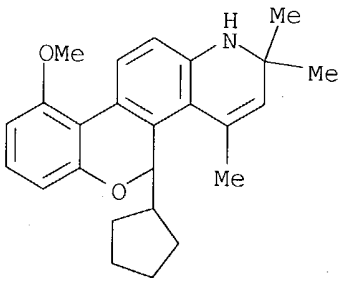
AB The preparation and characterization of a series of selective glucocorticoid receptor modulators are described. The preliminary structure-activity relationship of nonarom. C-5 substitution on the tetracyclic quinoline core showed a preference for small lipophilic side chains. Proper substitution at this position maintained the transcriptional repression of proinflammatory transcription factors while diminishing the transcriptional activation activity of the ligand/glucocorticoid receptor complex. The optimal compds. described in this study were the benzopyranoquinolines I [R = allyl, cyclopentyl]. These candidates showed

slightly less potent, highly efficacious E-selectin repression with significantly reduced levels of glucocorticoid response element activation in reporter gene assays vs prednisolone. I [R = allyl] was evaluated in vivo. An oral dose of I [R = allyl] showed an ED50 = 1.7 mg/kg as compared to 1.2 mg/kg for prednisolone in the Sephadex-induced pulmonary eosinophilia model and an ED50 = 15 mg/kg vs 4 mg/kg for prednisolone in the carrageenan-induced paw edema model.

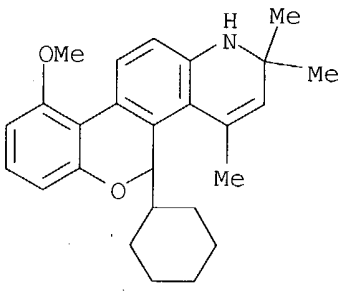
IT **239067-64-2**
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of
2,5-dihydro-10-methoxy-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinolines as nonsteroidal selective glucocorticoid modulators)
RN 239067-64-2 CAPLUS
CN 1H-[1]Benzopyrano[3,4-f]quinoline, 2,5-dihydro-10-methoxy-2,2,4-trimethyl-5-[3-[(methylthio)methoxy]phenyl]- (9CI) (CA INDEX NAME)



IT **239068-74-7P 239068-77-0P**
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of
2,5-dihydro-10-methoxy-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinolines as nonsteroidal selective glucocorticoid modulators)
RN 239068-74-7 CAPLUS
CN 1H-[1]Benzopyrano[3,4-f]quinoline, 5-cyclopentyl-2,5-dihydro-10-methoxy-2,2,4-trimethyl- (9CI) (CA INDEX NAME)



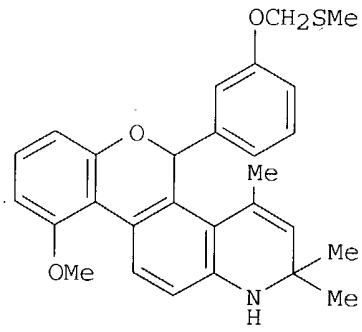
RN 239068-77-0 CAPLUS
CN 1H-[1]Benzopyrano[3,4-f]quinoline, 5-cyclohexyl-2,5-dihydro-10-methoxy-2,2,4-trimethyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 61 THERE ARE 61 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

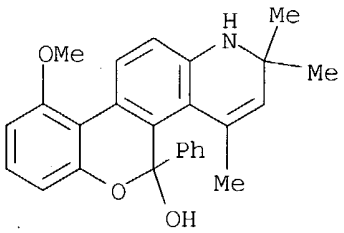
L4 ANSWER 7 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:555594 CAPLUS
DOCUMENT NUMBER: 135:288716
TITLE: Synthesis and characterization of non-steroidal ligands for the glucocorticoid receptor: selective quinoline derivatives with prednisolone-equivalent functional activity
AUTHOR(S): Coghlan, Michael J.; Kym, Philip R.; Elmore, Steven W.; Wang, Alan X.; Luly, Jay R.; Wilcox, Denise; Stashko, Michael; Lin, Chun-Wei; Miner, Jeffrey; Tyree, Curtis; Nakane, Masaki; Jacobson, Peer; Lane, Benjamin C.
CORPORATE SOURCE: Pharmaceutical Products Division, Abbott Laboratories, Abbott, IL, 60064, USA
SOURCE: Journal of Medicinal Chemistry (2001), 44(18), 2879-2885
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:288716
GI



I

AB A novel class of functional benzopyranoquinoline ligands for the human glucocorticoid receptor is described. Substituents in the C-10 position of the tetracyclic core are essential for glucocorticoid receptor (GR) selectivity vs. other steroid receptors. The C-5 position is derivatized with meta-substituted aromatic groups, resulting in analogs with a high affinity for GR (Ki = 2.4-9.3 nM) and functional activity comparable to prednisolone in reporter gene assays of glucocorticoid-mediated gene

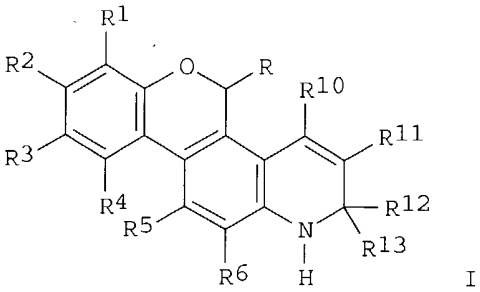


REFERENCE COUNT: 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:506106 CAPLUS
DOCUMENT NUMBER: 133:120319
TITLE: Preparation of 5-substituted 1,2-dihydro-5H-chromeno[3,4-f]quinolines
INVENTOR(S): Edwards, James P.; Jones, Todd K.
PATENT ASSIGNEE(S): Ligand Pharmaceuticals Inc., USA
SOURCE: U.S., 10 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6093826	A	20000725	US 1998-93421	19980608
US 6268497	B1	20010731	US 2000-547568	20000412

PRIORITY APPLN. INFO.: US 1998-93421 A3 19980608
OTHER SOURCE(S): CASREACT 133:120319; MARPAT 133:120319
GI



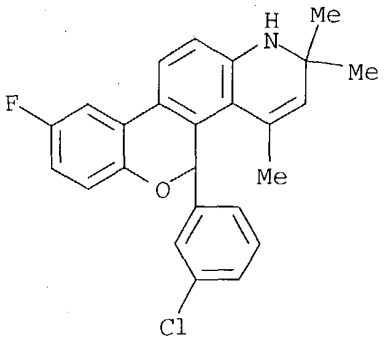
I

AB Title compds. [I; R = alkyl, allyl, (hetero)aryl, etc.; R1-R6 = H, F, Cl, alkyl, aryl, etc.; R10,R11 = H, alkyl, allyl, aryl, etc.; R12,R13 = alkyl, allyl, (hetero)aryl, etc.] were prepared by etherification of I (R = OH) by, e.g., a hydroxyarom. followed by Grignard alkyl- or arylation. Thus, I (R1 = R2 = R4-R6 =R11 = H, R3 = F, R10 = R12 = R13 = Me)(II; R = OH)(preparation given) was etherified by 4-(MeO)C6H4OH to give 75% the acetal which was treated with PhMgBr/ZnCl2 to give 76% II (R = Ph).

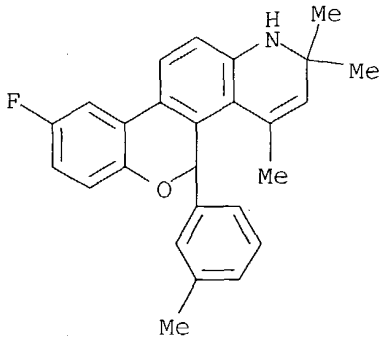
IT **179895-46-6P 179896-85-6P 201359-41-3P**
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of 5-substituted 1,2-dihydro-5H-chromeno[3,4-f]quinolines)

RN 179895-46-6 CAPLUS

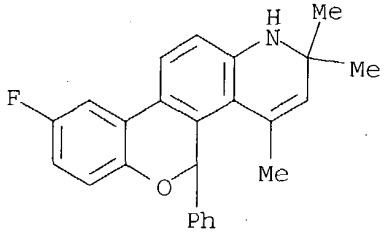
CN 1H-[1]Benzopyrano[3,4-f]quinoline, 5-(3-chlorophenyl)-9-fluoro-2,5-dihydro-2,2,4-trimethyl- (9CI) (CA INDEX NAME)



RN 179896-85-6 CAPLUS
CN 1H-[1]Benzopyrano[3,4-f]quinoline, 9-fluoro-2,5-dihydro-2,2,4-trimethyl-5-(3-methylphenyl)- (9CI) (CA INDEX NAME)



RN 201359-41-3 CAPLUS
CN 1H-[1]Benzopyrano[3,4-f]quinoline, 9-fluoro-2,5-dihydro-2,2,4-trimethyl-5-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:529151 CAPLUS
DOCUMENT NUMBER: 131:144617
TITLE: Preparation of glucocorticoid-selective antiinflammatory agents
INVENTOR(S): Coughlan, Michael J.; Kort, Michael E.; Edwards, James P.; Jones, Todd K.

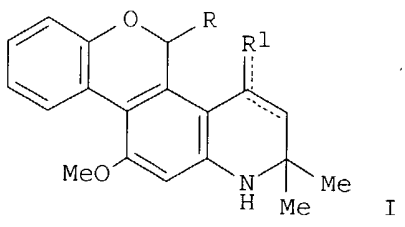
PATENT ASSIGNEE(S): Abbott Laboratories, USA; Ligand Pharmaceuticals, Inc.
SOURCE: PCT Int. Appl., 52 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9941257	A1	19990819	WO 1999-US3210	19990215
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2001049377	A1	20011206	US 1998-23913	19980213
US 6380207	B2	20020430		
ZA 9900533	A	19990726	ZA 1999-533	19990125
CA 2320911	AA	19990819	CA 1999-2320911	19990215
AU 9926003	A1	19990830	AU 1999-26003	19990215
AU 760511	B2	20030515		
TR 200002345	T2	20001121	TR 2000-200002345	19990215
EP 1053240	A1	20001122	EP 1999-905971	19990215
EP 1053240	B1	20030416		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, FI, RO				
BR 9907847	A	20010109	BR 1999-7847	19990215
JP 2002503666	T2	20020205	JP 2000-531450	19990215
NZ 506012	A	20030328	NZ 1999-506012	19990215
AT 237620	E	20030515	AT 1999-905971	19990215
PT 1053240	T	20030930	PT 1999-905971	19990215
ES 2197618	T3	20040101	ES 1999-905971	19990215
SK 284076	B6	20040908	SK 2000-1196	19990215
NO 2000004052	A	20001012	NO 2000-4052	20000811
BG 104698	A	20010531	BG 2000-104698	20000817
BG 64213	B1	20040531		
HK 1033309	A1	20040206	HK 2001-102795	20010419

PRIORITY APPLN. INFO.: US 1998-23913 A 19980213
WO 1999-US3210 W 19990215

OTHER SOURCE(S): MARPAT 131:144617

GI



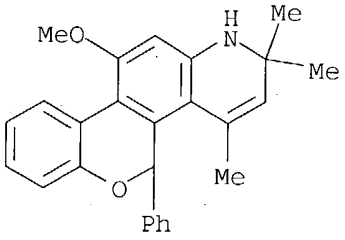
I

AB Title compds. [I; R = C6H5, CH2CH:CH2, 3,5-(Cl)2C6H3; R1 = CH3, CH2; dotted line = singly, double bond], pharmaceutical compns. comprising compds. of I are prepared and methods of inhibiting immune or autoimmune diseases in a mammal are disclosed as compds. I are useful for partially

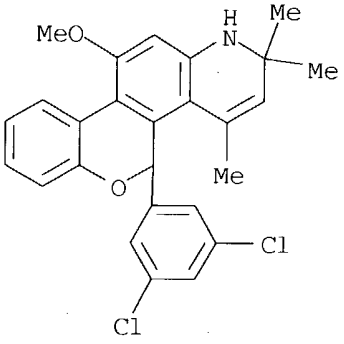
of fully antagonizing, repressing, agonizing, or modulating the glucocorticoid receptor in a mammal and treating immune, autoimmune and inflammatory diseases in a mammal. Thus, the title compound I (R = C6H5; R1 = CH3; dotted line = double bond) was prepared from 2-HO-3-MeOC6H3CO2Me, 2-bromoanisole, and acetone via cyclization.

IT **235433-74-6P 235433-76-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of glucocorticoid selective antiinflammatory agents)

RN 235433-74-6 CAPLUS
CN 1H-[1]Benzopyrano[3,4-f]quinoline, 2,5-dihydro-11-methoxy-2,2,4-trimethyl-5-phenyl- (9CI) (CA INDEX NAME)



RN 235433-76-8 CAPLUS
CN 1H-[1]Benzopyrano[3,4-f]quinoline, 5-(3,5-dichlorophenyl)-2,5-dihydro-11-methoxy-2,2,4-trimethyl- (9CI) (CA INDEX NAME)



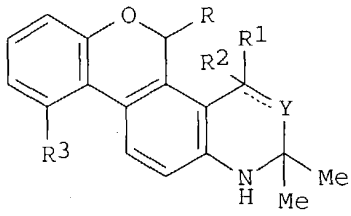
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:529150 CAPLUS
DOCUMENT NUMBER: 131:170368
TITLE: Preparation of glucocorticoid-selective anti-inflammatory agents
INVENTOR(S): Coughlan, Michael J.; Elmore, Steven W.; Kort, Michael E.; Kym, Philip R.; Moore, Jimmie L.; Pratt, John K.; Wang, Alan X.; Edwards, James P.; Jones, Todd K.
PATENT ASSIGNEE(S): Abbott Laboratories, USA; Ligand Pharmaceuticals, Inc.
SOURCE: PCT Int. Appl., 329 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9941256	A1	19990819	WO 1999-US3127	19990212
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
ZA 9901156	A	19990812	ZA 1999-1156	19990212
AU 9926773	A1	19990830	AU 1999-26773	19990212
AU 766441	B2	20031016		
CA 2320943	AA	19990919	CA 1999-2320943	19990212
EP 1053239	A1	20001122	EP 1999-906996	19990212
EP 1053239	B1	20030108		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, FI, RO				
TR 200003094	T2	20010122	TR 2000-200003094	19990212
BR 9907788	A	20011030	BR 1999-7788	19990212
JP 2002503665	T2	20020205	JP 2000-531449	19990212
AT 230749	E	20030115	AT 1999-906996	19990212
NZ 506013	A	20030328	NZ 1999-506013	19990212
CN 1119348	B	20030827	CN 1999-804902	19990212
ES 2192035	T3	20030916	ES 1999-906996	19990212
NO 2000004053	A	20000911	NO 2000-4053	20000811
BG 104719	A	20010531	BG 2000-104719	20000828
BG 64317	B1	20040930		
HK 1033308	A1	20031024	HK 2001-102793	20010419
PRIORITY APPLN. INFO.:			US 1998-23947 A	19980213
			US 1999-247831 A	19990210
			WO 1999-US3127 W	19990212

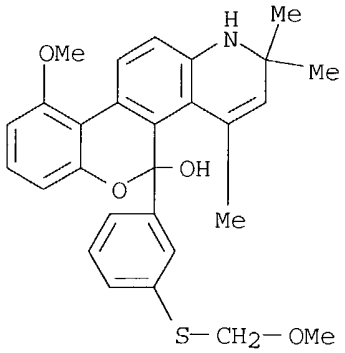
OTHER SOURCE(S): MARPAT 131:170368

GI

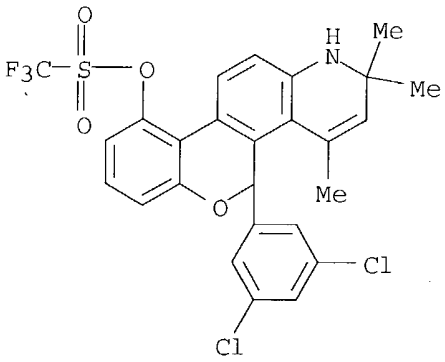


I

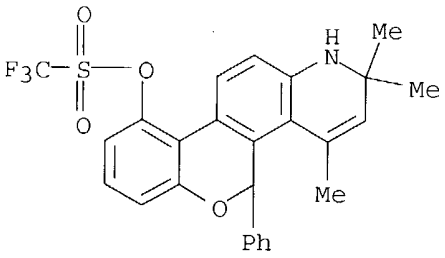
AB Title compds. [I; R = C6H5, CH2CH:CH2, 3,5-(Cl)2C6H3, 3-Br-5-MeC6H3, 3-HOC6H4, 3-AcC6H4, 3-Me2NCOC6H4, MeSCH2C6H4, HOCH2CH:CHCH2, C6H5CH2NHCOOCH2CH:CHCH2, 2-pyridyl, 3-pyridyl, 4-pyridyl, C6H5CH:CH, C6H5CC; R1 = CH3, CH2, (C2-C6)alkyl, H; R2 = H, (C1-C6)alkyl; R1-R2 = alkenyl of two carbons; R3 = OMe, NHMe, CO2Me, CH:CH2, CCH, COMe, OEt, OCHF2, CH2OH, CH2 OMe, SMe; dotted line = singly, double bond; Y = CH, CH2, N, N:O], stereoisomers, pharmaceutically acceptable salt, prodrug thereof, and pharmaceutical compns. comprising compds. of I are prepared and methods of inhibiting immune or autoimmune diseases in a mammal are disclosed as compds. I are useful for partially of fully antagonizing, repressing, agonizing, or modulating the glucocorticoid receptor in a mammal and treating immune, autoimmune and inflammatory diseases in a mammal. Thus, the title compound I (R = (Z)-C6H5CH:CH; R1-R2 = CH3; R3 =



RN 239071-13-7 CAPLUS
CN Methanesulfonic acid, trifluoro-, 5-(3,5-dichlorophenyl)-2,5-dihydro-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinolin-10-yl ester (9CI) (CA INDEX NAME)



RN 239071-20-6 CAPLUS
CN Methanesulfonic acid, trifluoro-, 2,5-dihydro-2,2,4-trimethyl-5-phenyl-1H-[1]benzopyrano[3,4-f]quinolin-10-yl ester (9CI) (CA INDEX NAME)



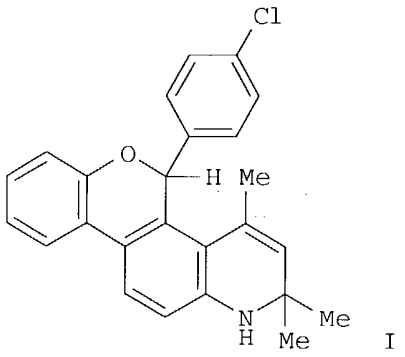
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:199481 CAPLUS
DOCUMENT NUMBER: 130:325097
TITLE: 5-Aryl-1,2,3,4-tetrahydrochromeno[3,4-f]quinolin-3-ones as a novel class of nonsteroidal progesterone receptor agonists: effect of A-ring modification
AUTHOR(S): Zhi, Lin; Tegley, Christopher M.; Marschke, Keith B.;

Mais, Dale E.; Jones, Todd K.
CORPORATE SOURCE: Department of Medicinal Chemistry, New Leads Discovery and Endocrine Research Ligand Pharmaceuticals Inc., San Diego, CA, 92121, USA

SOURCE: Journal of Medicinal Chemistry (1999), 42(8), 1466-1472
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

GI



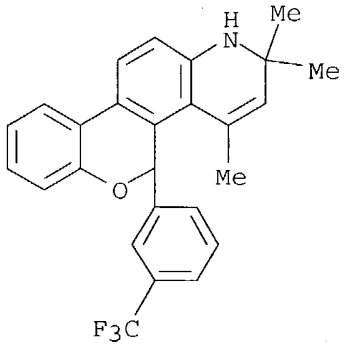
I

AB Optimization of the 1,2-dihydroquinoline A-ring of a nonsteroidal human progesterone receptor (hPR) agonist pharmacophore I was performed by using the cotransfection and receptor binding assays as guides. The 3-keto group was discovered to regain the potent agonist activity which was lost upon removal of the 3,4-olefin, and it led to a novel hPR agonist series, 5-aryl-1,2,3,4-tetrahydrochromeno[3,4-f]quinolin-3-ones. The new progestins demonstrated potent hPR agonist activity in the cotransfection assay and high binding affinity similar to progesterone. T47D human breast cancer cell line was employed for further characterization of the new progestins and a number of reference analogs. It was found that the new 3-keto analogs showed full agonist activity in the T47D assay, while the reference compds. from other related nonsteroidal hPR agonist series exhibited only partial agonist activity.

IT **179898-20-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate in preparation, breast tumor inhibitory, and progesterone receptor agonist activity of arylchromenoquinolinones and structure activity relationship)

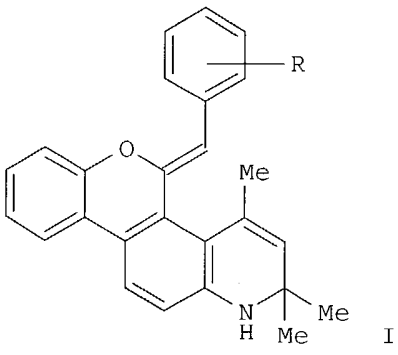
RN 179898-20-5 CAPLUS

CN 1H-[1]Benzopyrano[3,4-f]quinoline-1-carboxylic acid, 5-(4-chlorophenyl)-2,5-dihydro-2,2,4-trimethyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:609678 CAPLUS
DOCUMENT NUMBER: 129:339452
TITLE: 5-Benzylidene-1,2-Dihydrochromeno[3,4-f]quinolines, A Novel Class of Nonsteroidal Human Progesterone Receptor Agonists
AUTHOR(S): Tegley, Christopher M.; Zhi, Lin; Marschke, Keith B.; Gottardis, Marco M.; Yang, Qinchuan; Jones, Todd K.
CORPORATE SOURCE: Department of Medicinal Chemistry New Leads Discovery and Endocrine Research, Ligand Pharmaceuticals Inc., San Diego, CA, 92121, USA
SOURCE: Journal of Medicinal Chemistry (1998), 41(22), 4354-4359
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

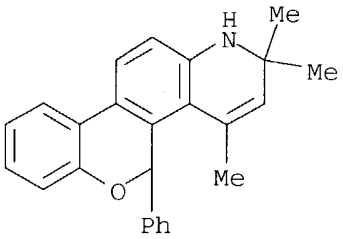
AB A novel series of nonsteroidal progestins, 5-benzylidene-1,2-dihydrochromeno[3,4-f]quinolines, was discovered, and a preliminary structure-activity relation study around the 5-benzylidene ring generated several potent human progesterone receptor agonists. These new progestins showed biol. activities (EC50 = 5.7 and 7.6 nM) similar to progesterone (EC50 = 2.9 nM) in the cotransfection assay with high efficacy (132% and 166%) and binding affinity (Ki = 0.66 and 0.83 nM) similar to medroxyprogesterone acetate (MPA) (Ki = 0.34 nM). A representative analog, I, demonstrated similar oral potency to MPA in the uterine wet

weight/mammary gland morphol. assay in ovariectomized rats.

IT **179894-95-2**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(structure activity relations of benzylidene(dihydrochromeno)quinolines as progesterone receptor agonists)

RN 179894-95-2 CAPLUS

CN 1H-[1]Benzopyrano[3,4-f]quinoline, 2,5-dihydro-2,2,4-trimethyl-5-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:446763 CAPLUS

DOCUMENT NUMBER: 129:156902

TITLE: Preparation, Resolution, and Biological Evaluation of 5-Aryl-1,2-dihydro-5H-chromeno[3,4-f]quinolines: Potent, Orally Active, Nonsteroidal Progesterone Receptor Agonists

AUTHOR(S): Edwards, James P.; Zhi, Lin; Pooley, Charlotte L. F.; Tegley, Christopher M.; West, Sarah J.; Wang, Ming-Wei; Gottardis, Marco M.; Pathirana, Charles; Schrader, William T.; Jones, Todd K.

CORPORATE SOURCE: Departments of Medicinal Chemistry and Endocrine Research, Ligand Pharmaceuticals Inc., San Diego, CA, 92121, USA

SOURCE: Journal of Medicinal Chemistry (1998), 41(15), 2779-2785
CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

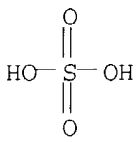
OTHER SOURCE(S): CASREACT 129:156902

AB Two potent nonsteroidal progestins from the 5-aryl-1,2-dihydro-5H-chromeno[3,4-f]quinoline class (LG120746 and LG120747) were selected for scale-up, resolution, and biol. evaluation of the purified enantiomers. For each quinoline, the levorotatory enantiomer was determined to be the more potent agonist of the human progesterone receptor isoform B (hPR-B) (EC50 < 3 nM), but the dextrorotatory enantiomers retained significant PR modulatory activity (EC50 < 200 nM). In two in vivo rodent models of progestational activity, a pregnancy maintenance assay and a uterine wet weight assay, the two eutomers displayed potent progesterone-like effects. In a third model for progestational activity, the mammary end bud assay, these compds. were significantly less active. These studies demonstrate that certain members of this class of selective progesterone receptor modulators display encouraging and potentially useful tissue-selective progestational effects.

IT **179895-46-6P**, LG 120746
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

CM 2

CRN 7664-93-9
CMF H2 O4 S

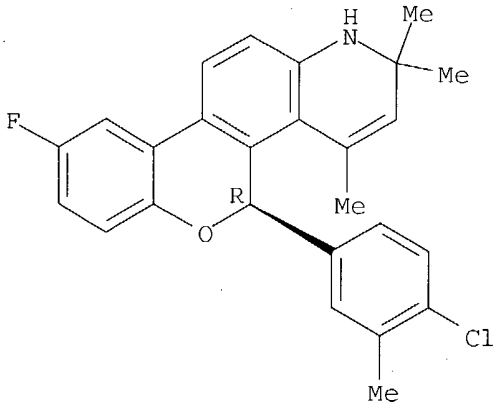


RN 211057-21-5 CAPLUS
CN 1H-[1]Benzopyrano[3,4-f]quinoline, 5-(4-chloro-3-methylphenyl)-9-fluoro-2,5-dihydro-2,2,4-trimethyl-, (5R)-, sulfate (1:1) (9CI) (CA INDEX NAME)

CM 1

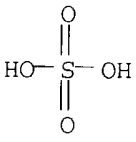
CRN 211057-20-4
CMF C26 H23 Cl F N O

Absolute stereochemistry.



CM 2

CRN 7664-93-9
CMF H2 O4 S



REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 14 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:45156 CAPLUS
DOCUMENT NUMBER: 128:97309

TITLE: 5-Aryl-1,2-dihydro-5H-chromeno[3,4-f]quinolines as Potent, Orally Active, Nonsteroidal Progesterone Receptor Agonists: The Effect of D-Ring Substituents

AUTHOR(S): Edwards, James P.; West, Sarah J.; Marschke, Keith B.; Mais, Dale E.; Gottardis, Marco; Jones, Todd K.

CORPORATE SOURCE: Departments of Medicinal Chemistry New Leads Discovery and Endocrine Research, Ligand Pharmaceuticals Inc., San Diego, CA, 92121, USA

SOURCE: Journal of Medicinal Chemistry (1998), 41(3), 303-310
CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

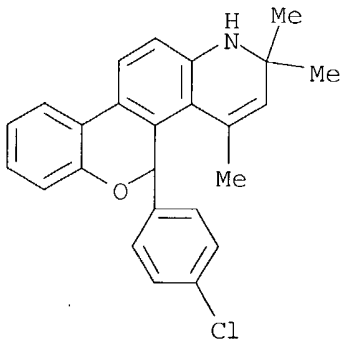
LANGUAGE: English

AB Several 5-(4-chlorophenyl)-1,2-dihydro-5H-chromeno[3,4-f]quinolines were prepared to determine the effects of substitution at C(8) and C(9) on the progestational activity of this pharmacophore. In combination with a halogen (F or Cl) at C(9), replacement of the C(5) aryl group with variously substituted aryl groups resulted in optimization of the progestational activity, affording compds. with in vitro activity greater than that of progesterone as measured by a co-transfection assay using human progesterone receptor subtype-B (hPR-B). Binding affinities (Ki) to hPR-A were subnanomolar in many cases. These in vitro effects were verified in vivo using a rodent model. LG120794, 9-chloro-5-(4-chlorophenyl)-1,2-dihydro-2,2,4-trimethyl-5H-chromeno[3,4-f]quinoline was more potent than medroxyprogesterone acetate at counter-poising the effects of estradiol benzoate in the uterine weight wet assay using immature rats.

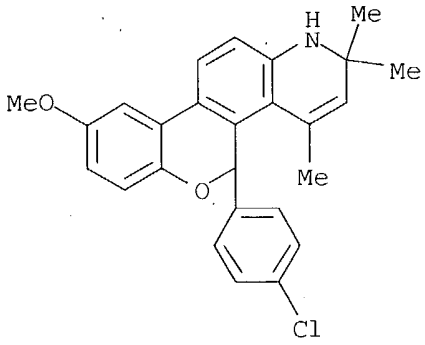
IT **179894-97-4**, LG 120546
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(preparation and structure activity relationship of aryldihydrochromenoquinolines as potent orally active nonsteroidal progesterone receptor agonists)

RN 179894-97-4 CAPLUS

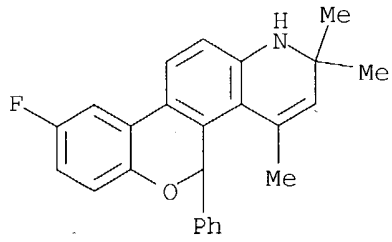
CN 1H-[1]Benzopyrano[3,4-f]quinoline, 5-(4-chlorophenyl)-2,5-dihydro-2,2,4-trimethyl- (9CI) (CA INDEX NAME)



IT **179895-46-6P**, LG 120746 **179895-47-7P**
179895-48-8P, LG 120748 **179895-49-9P**
179895-51-3P 179895-52-4P, LG 120794
179896-64-1P 179896-65-2P 179896-66-3P
179896-67-4P 179896-68-5P 179896-70-9P
179896-74-3P 179896-75-4P 179896-85-6P
179896-88-9P 179896-89-0P 179897-81-5P
201359-40-2P 201359-41-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological



RN 201359-41-3 CAPLUS
CN 1H-[1]Benzopyrano[3,4-f]quinoline, 9-fluoro-2,5-dihydro-2,2,4-trimethyl-5-phenyl- (9CI) (CA INDEX NAME)



L4 ANSWER 15 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:45155 CAPLUS
DOCUMENT NUMBER: 128:110382
TITLE: 5-Aryl-1,2-dihydrochromeno[3,4-f]quinolines: A Novel Class of Nonsteroidal Human Progesterone Receptor Agonists
AUTHOR(S): Zhi, Lin; Tegley, Christopher M.; Kallel, E. Adam; Marschke, Keith B.; Mais, Dale E.; Gottardis, Marco; Jones, Todd K.
CORPORATE SOURCE: Departments of Medicinal Chemistry New Leads Discovery and Endocrine Research, Ligand Pharmaceuticals Inc., San Diego, CA, 92121, USA
SOURCE: Journal of Medicinal Chemistry (1998), 41(3), 291-302
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

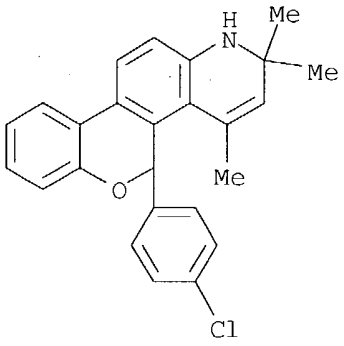
AB The development of a novel class of nonsteroidal human progesterone receptor (hPR) agonists, 5-aryl-1,2-dihydro-5H-chromeno[3,4-f]quinolines, is described. The introduction of a 5-aryl group into the 1,2-dihydrocoumarino[3,4-f]quinoline core is the key for progestational activities. The structure-activity relationship (SAR) studies of the 5-aryl substituents generated a series of potent hPR agonists, which exhibited similar biol. activity (EC50 = 8-30 nM) to the natural hormone progesterone (EC50 = 2.9 nM) in cell-based assays with efficacies ranging from 28% to 96%. Most of the analogs displayed similar or greater binding affinity (Ki = 0.41-3.6 nM) than progesterone (Ki = 3.5 nM). Three representative analogs (aryl = Ph, 4-Cl-, 3-F3CC6H4) demonstrated in vivo activities in mammary gland morphol./uterine wet weight assay in ovariectomized rats.

IT **179894-97-4P 179895-01-3P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PUR (Purification or recovery); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and activity of aryldihydrochromenoquinolines for use as nonsteroidal human progesterone receptor agonists)

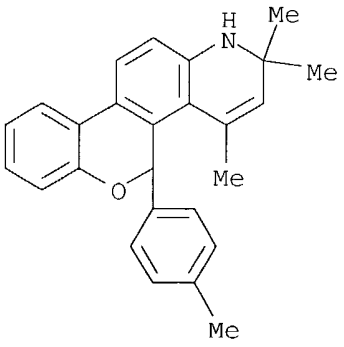
RN 179894-97-4 CAPLUS

CN 1H-[1]Benzopyrano[3,4-f]quinoline, 5-(4-chlorophenyl)-2,5-dihydro-2,2,4-trimethyl- (9CI) (CA INDEX NAME)



RN 179895-01-3 CAPLUS

CN 1H-[1]Benzopyrano[3,4-f]quinoline, 2,5-dihydro-2,2,4-trimethyl-5-(4-methylphenyl)- (9CI) (CA INDEX NAME)

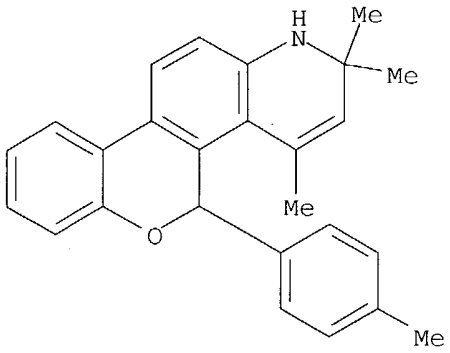


IT **179894-95-2P 179894-99-6P 179895-00-2P**
179895-02-4P 179895-03-5P 179895-05-7P
179895-06-8P 179895-11-5P 179895-13-7P
179895-15-9P 179895-17-1P 179895-25-1P
179895-29-5P 179895-30-8P 179895-33-1P
179896-77-6P 179896-80-1P 179896-82-3P
199608-88-3P 199608-89-4P 201593-64-8P
201593-67-1P

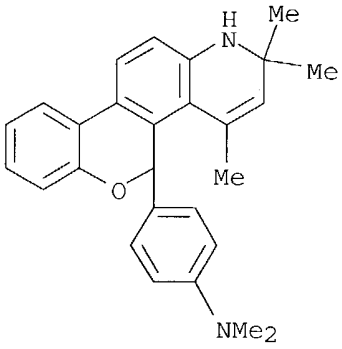
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and activity of aryldihydrochromenoquinolines for use as nonsteroidal human progesterone receptor agonists)

RN 179894-95-2 CAPLUS

CN 1H-[1]Benzopyrano[3,4-f]quinoline, 2,5-dihydro-2,2,4-trimethyl-5-phenyl- (9CI) (CA INDEX NAME)

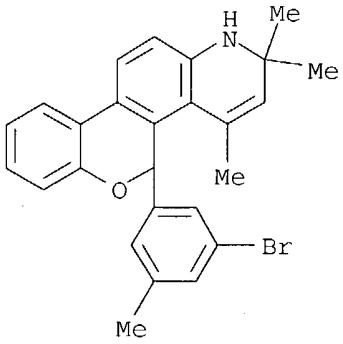


IT **201593-60-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis and activity of aryldihydrochromenoquinolines for use as nonsteroidal human progesterone receptor agonists)
RN 201593-60-4 CAPLUS
CN Benzenamine, 4-(2,5-dihydro-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinolin-5-yl)-N,N-dimethyl- (9CI) (CA INDEX NAME)

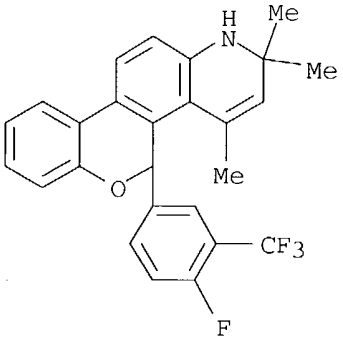


L4 ANSWER 16 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:8172 CAPLUS
DOCUMENT NUMBER: 128:75320
TITLE: Preparation of quinoline derivatives and analogs as steroid receptor modulator compounds and method of progesterone receptor therapy
INVENTOR(S): Jones, Todd K.; Goldman, Mark E.; Pooley, Charlotte Lf; Winn, David T.; Edwards, James P.; West, Sarah J.; Tegley, Christopher M.; Zhi, Lin; Hamann, Lawrence G.; Farmer, Luc J.; Davis, Robert L.
PATENT ASSIGNEE(S): Ligand Pharmaceuticals Inc., USA
SOURCE: U.S., 125 pp., Cont.-in-part of U.S. Ser. No. 363,529, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 12
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5696133	A	19971209	US 1995-465556	19950605
CA 2208347	AA	19960627	CA 1995-2208347	19951213



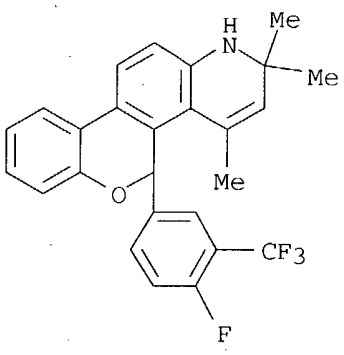
RN 199608-89-4 CAPLUS
CN 1H-[1]Benzopyrano[3,4-f]quinoline, 5-[4-fluoro-3-(trifluoromethyl)phenyl]-2,5-dihydro-2,2,4-trimethyl- (9CI) (CA INDEX NAME)



L4 ANSWER 17 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:809721 CAPLUS
DOCUMENT NUMBER: 128:61505
TITLE: Preparation of tricyclic heterocycle-fused quinoline derivatives as steroid receptor modulators and methods of their use
INVENTOR(S): Jones, Todd K.; Winn, David T.; Goldman, Mark E.; Hamann, Lawrence G.; Zhi, Lin; Farmer, Luc J.; Davis, Robert L.
PATENT ASSIGNEE(S): Ligand Pharmaceuticals Inc., USA
SOURCE: U.S., 127 pp., Cont.-in-part of U.S. Ser. No. 363,529, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 12
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5696130	A	19971209	US 1995-462643	19950605
CA 2208347	AA	19960627	CA 1995-2208347	19951213
WO 9619458	A2	19960627	WO 1995-US16096	19951213
WO 9619458	A3	19961212		

W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ,



L4 ANSWER 18 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:809720 CAPLUS
DOCUMENT NUMBER: 128:61504
TITLE: Preparation of chromenoquinoline derivatives and analogs as steroid receptor modulator compounds and methods of their use
INVENTOR(S): Jones, Todd K.; Zhi, Lin; Edwards, James P.; Tegley, Christopher M.; West, Sarah J.
PATENT ASSIGNEE(S): Ligand Pharmaceuticals Inc., USA
SOURCE: U.S., 129 pp., Cont.-in-part of U.S. Ser. No. 363,127, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 12
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5696127	A	19971209	US 1995-465429	19950605
CA 2208347	AA	19960627	CA 1995-2208347	19951213
WO 9619458	A2	19960627	WO 1995-US16096	19951213
WO 9619458	A3	19961212		
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RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9645977	A1	19960710	AU 1996-45977	19951213
AU 717251	B2	20000323		
EP 800519	A1	19971015	EP 1995-944089	19951213
EP 800519	B1	20031022		
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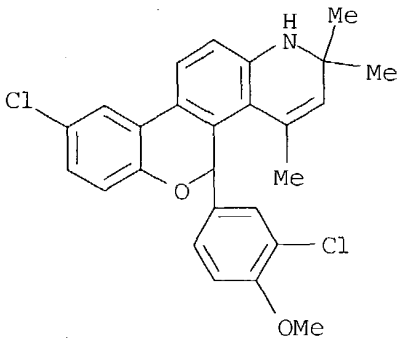
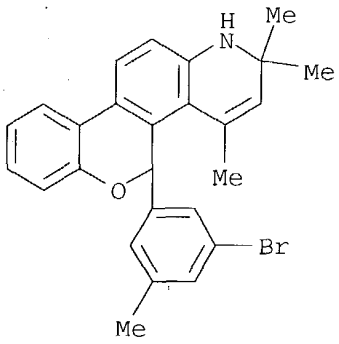
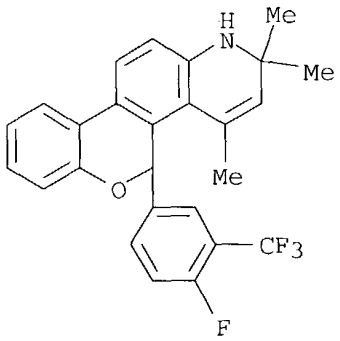
CN 1175247	A	19980304	CN 1995-197702	19951213
BR 9510486	A	19980602	BR 1995-10486	19951213
HU 78117	A2	19991129	HU 1997-2305	19951213
EP 1041071	A1	20001004	EP 2000-113914	19951213
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
EP 1041066	A1	20001004	EP 2000-113915	19951213
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
EP 1043325	A1	20001011	EP 2000-113829	19951213
EP 1043325	B1	20040616		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
EP 1043326	A1	20001011	EP 2000-113830	19951213



RN 199608-88-3 CAPLUS
CN 1H-[1]Benzopyrano[3,4-f]quinoline, 5-(3-bromo-5-methylphenyl)-2,5-dihydro-2,2,4-trimethyl- (9CI) (CA INDEX NAME)



RN 199608-89-4 CAPLUS
CN 1H-[1]Benzopyrano[3,4-f]quinoline, 5-[4-fluoro-3-(trifluoromethyl)phenyl]-2,5-dihydro-2,2,4-trimethyl- (9CI) (CA INDEX NAME)



L4 ANSWER 19 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:772299 CAPLUS
DOCUMENT NUMBER: 128:61503
TITLE: Preparation of heterocycle-fused quinoline derivatives as steroid receptor modulator compounds
INVENTOR(S): Jones, Todd K.; Zhi, Lin; Tegley, Christopher M.; Winn, David T.; Hamann, Lawrence G.; Edwards, James P.; West, Sarah J.

PATENT ASSIGNEE(S): Ligand Pharmaceuticals Inc., USA
SOURCE: U.S., 126 pp., Cont.-in-part of U.S. Ser. No. 363,529, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 12
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5693647	A	19971202	US 1995-464546	19950605
CA 2208347	AA	19960627	CA 1995-2208347	19951213
WO 9619458	A2	19960627	WO 1995-US16096	19951213
WO 9619458	A3	19961212		
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9645977	A1	19960710	AU 1996-45977	19951213
AU 717251	B2	20000323		
EP 800519	A1	19971015	EP 1995-944089	19951213
EP 800519	B1	20031022		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
CN 1175247	A	19980304	CN 1995-197702	19951213
BR 9510486	A	19980602	BR 1995-10486	19951213
HU 78117	A2	19991129	HU 1997-2305	19951213
EP 1041071	A1	20001004	EP 2000-113914	19951213
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EP 1041066	A1	20001004	EP 2000-113915	19951213
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
EP 1043325	A1	20001011	EP 2000-113829	19951213
EP 1043325	B1	20040616		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
EP 1043326	A1	20001011	EP 2000-113830	19951213
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
EP 1043315	A1	20001011	EP 2000-113916	19951213
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RU 2191774	C2	20021027	RU 1997-112141	19951213
AT 252560	E	20031115	AT 1995-944089	19951213
EP 1382597	A2	20040121	EP 2003-23907	19951213
EP 1382597	A3	20040407		
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ES 2208699	T3	20040616	ES 1995-944089	19951213
AT 269336	E	20040715	AT 2000-113829	19951213
NO 9702591	A	19970814	NO 1997-2591	19970606
AU 762398	B2	20030626	AU 2000-27761	20000414

PRIORITY APPLN. INFO.:

US 1994-363529	B2	19941222
US 1995-462643	A	19950605
US 1995-463231	A	19950605
US 1995-464360	A	19950605
US 1995-464514	A	19950605
US 1995-464541	A	19950605
US 1995-464546	A	19950605
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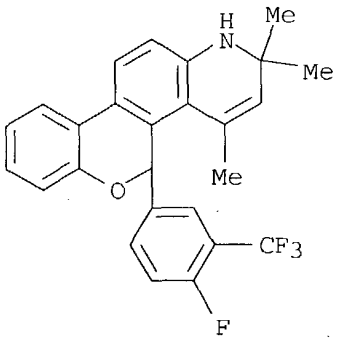

L4 ANSWER 20 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:772298 CAPLUS
DOCUMENT NUMBER: 128:61502
TITLE: Preparation of chromenoquinoline derivatives and analogs as steroid receptor modulator compounds and methods
INVENTOR(S): Jones, Todd K.; Tegley, Christopher M.; Zhi, Lin; Edwards, James P.; West, Sarah J.
PATENT ASSIGNEE(S): Ligand Pharmaceuticals Inc., USA
SOURCE: U.S., 128 pp., Cont.-in-part of U.S. Ser. No. 363,529, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 12
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5693646	A	19971202	US 1995-464360	19950605
CA 2208347	AA	19960627	CA 1995-2208347	19951213
WO 9619458	A2	19960627	WO 1995-US16096	19951213
WO 9619458	A3	19961212		
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9645977	A1	19960710	AU 1996-45977	19951213
AU 717251	B2	20000323		
EP 800519	A1	19971015	EP 1995-944089	19951213
EP 800519	B1	20031022		
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CN 1175247	A	19980304	CN 1995-197702	19951213
BR 9510486	A	19980602	BR 1995-10486	19951213
HU 78117	A2	19991129	HU 1997-2305	19951213
EP 1041071	A1	20001004	EP 2000-113914	19951213
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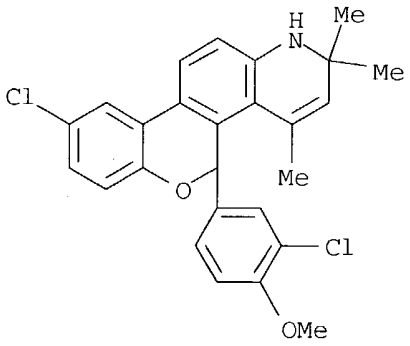
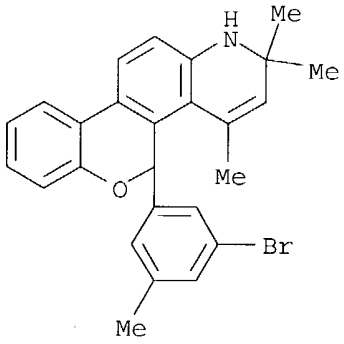
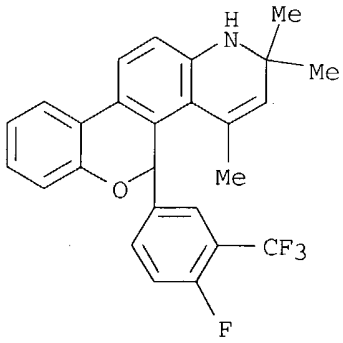
EP 1041066	A1	20001004	EP 2000-113915	19951213
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
EP 1043325	A1	20001011	EP 2000-113829	19951213
EP 1043325	B1	20040616		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
EP 1043326	A1	20001011	EP 2000-113830	19951213



RN 199608-88-3 CAPLUS
CN 1H-[1]Benzopyrano[3,4-f]quinoline, 5-(3-bromo-5-methylphenyl)-2,5-dihydro-2,2,4-trimethyl- (9CI) (CA INDEX NAME)



RN 199608-89-4 CAPLUS
CN 1H-[1]Benzopyrano[3,4-f]quinoline, 5-[4-fluoro-3-(trifluoromethyl)phenyl]-2,5-dihydro-2,2,4-trimethyl- (9CI) (CA INDEX NAME)



L4 ANSWER 21 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:752743 CAPLUS
DOCUMENT NUMBER: 128:34752
TITLE: Preparation and formulation of heterocyclic compounds as steroid receptor modulators
INVENTOR(S): Jones, Todd K.; Goldman, Mark E.; Pooley, Charlotte Lf; Winn, David T.; Edwards, James P.; West, Sarah J.; Tegley, Christopher M.; Zhi, Lin

PATENT ASSIGNEE(S): Ligand Pharmaceuticals Inc., USA
SOURCE: U.S., 127 pp., Cont.-in-part of U.S. Ser. No. 363,529, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 12
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5688810	A	19971118	US 1995-464541	19950605
CA 2208347	AA	19960627	CA 1995-2208347	19951213
WO 9619458	A2	19960627	WO 1995-US16096	19951213
WO 9619458	A3	19961212		
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9645977	A1	19960710	AU 1996-45977	19951213
AU 717251	B2	20000323		
EP 800519	A1	19971015	EP 1995-944089	19951213
EP 800519	B1	20031022		
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CN 1175247	A	19980304	CN 1995-197702	19951213
BR 9510486	A	19980602	BR 1995-10486	19951213
EP 1041071	A1	20001004	EP 2000-113914	19951213
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
EP 1041066	A1	20001004	EP 2000-113915	19951213
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
EP 1043325	A1	20001011	EP 2000-113829	19951213
EP 1043325	B1	20040616		
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EP 1043326	A1	20001011	EP 2000-113830	19951213
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
EP 1043315	A1	20001011	EP 2000-113916	19951213
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
RU 2191774	C2	20021027	RU 1997-112141	19951213
AT 252560	E	20031115	AT 1995-944089	19951213
EP 1382597	A2	20040121	EP 2003-23907	19951213
EP 1382597	A3	20040407		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
PT 800519	T	20040331	PT 1995-944089	19951213
ES 2208699	T3	20040616	ES 1995-944089	19951213
AT 269336	E	20040715	AT 2000-113829	19951213
NO 9702591	A	19970814	NO 1997-2591	19970606
US 6093821	A	20000725	US 1997-943853	19971008
AU 762398	B2	20030626	AU 2000-27761	20000414
PRIORITY APPLN. INFO.:			US 1994-363529 B2	19941222
			US 1995-462643 A	19950605
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			US 1995-464360 A	19950605
			US 1995-464541 A	19950605
			US 1995-464546 A	19950605
			US 1995-465429 A	19950605
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			AU 1996-45977 A3	19951213
			EP 1995-944089 A3	19951213
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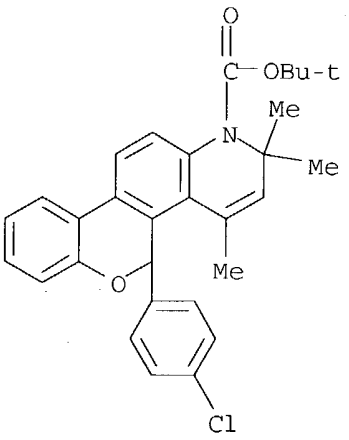

L4 ANSWER 22 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:752742 CAPLUS
DOCUMENT NUMBER: 128:34751
TITLE: Preparation of heterocycle-fused quinoline derivatives as steroid receptor modulator compounds
INVENTOR(S): Jones, Todd K.; Winn, David T.; Zhi, Lin; Hamann, Lawrence G.; Tegley, Christopher M.; Pooley, Charlotte L. F.
PATENT ASSIGNEE(S): Ligand Pharmaceuticals Inc., USA
SOURCE: U.S., 122 pp., Cont.-in-part of U.S. Ser. No. 363,529, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 12
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5688808	A	19971118	US 1995-463231	19950605
CA 2208347	AA	19960627	CA 1995-2208347	19951213
WO 9619458	A2	19960627	WO 1995-US16096	19951213
WO 9619458	A3	19961212		
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9645977	A1	19960710	AU 1996-45977	19951213
AU 717251	B2	20000323		
EP 800519	A1	19971015	EP 1995-944089	19951213
EP 800519	B1	20031022		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
CN 1175247	A	19980304	CN 1995-197702	19951213
BR 9510486	A	19980602	BR 1995-10486	19951213
HU 78117	A2	19991129	HU 1997-2305	19951213
EP 1041071	A1	20001004	EP 2000-113914	19951213
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
EP 1041066	A1	20001004	EP 2000-113915	19951213
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EP 1043325	A1	20001011	EP 2000-113829	19951213

EP 1043325	B1	20040616			
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EP 1043326	A1	20001011	EP 2000-113830		19951213
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EP 1043315	A1	20001011	EP 2000-113916		19951213
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RU 2191774	C2	20021027	RU 1997-112141		19951213
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EP 1382597	A2	20040121	EP 2003-23907		19951213
EP 1382597	A3	20040407			
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PT 800519	T	20040331	PT 1995-944089		19951213
ES 2208699	T3	20040616	ES 1995-944089		19951213
AT 269336	E	20040715	AT 2000-113829		19951213
NO 9702591	A	19970814	NO 1997-2591		19970606
AU 762398	B2	20030626	AU 2000-27761		20000414
PRIORITY APPLN. INFO.:			US 1994-363529	B2	19941222
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			US 1995-464360	A	19950605
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			US 1995-464541	A	19950605
			US 1995-464546	A	19950605
			US 1995-465429	A	19950605
			US 1995-465556	A	19950605
			AU 1996-45977	A3	19951213
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			WO 1995-US16096	W	19951213

OTHER SOURCE(S): MARPAT 128:34751

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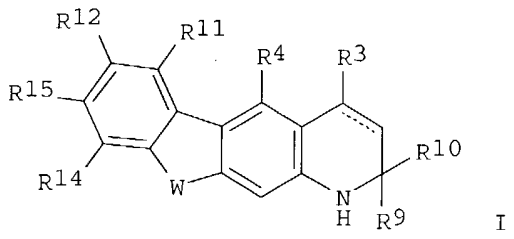

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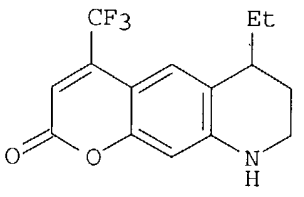

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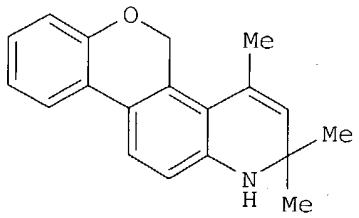
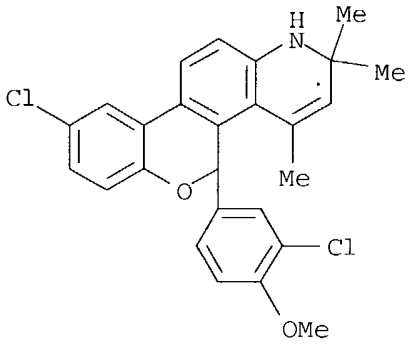
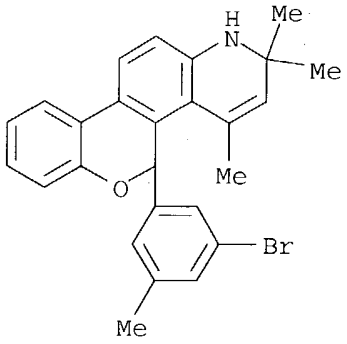


III

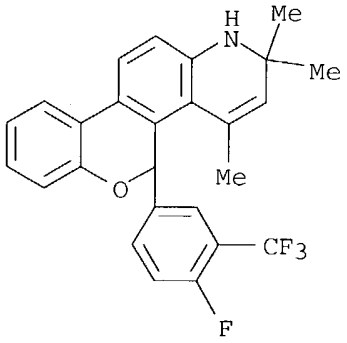
AB Non-steroidal compds. represented by formula [I; R3 = H, C1-4 alkyl or perfluoroalkyl, CH2OH, aryl, heteroaryl, or (un)substituted allyl, arylmethyl, alkynyl, or alkenyl; R4 = H, F, Cl, Br, iodo, NO2, CO2H, CO2R2, COR2, cyano, CF3, CH2OH, C1-4 alkyl, perfluoroalkyl, OR2, SR2, SOR2, SO2R2, SO3H, S(NR2R7)R2, S(O)(NR2R7)R2, NR2R7, aryl, heteroaryl, etc.; wherein R2 = H, C1-4 alkyl or perfluoroalkyl, aryl, heteroaryl, or (un)substituted allyl, arylmethyl, alkynyl, or alkenyl; R7 = H, C1-4 alkyl or perfluoroalkyl, aryl, heteroaryl, or (un)substituted allyl, arylmethyl, NH, or OH; R9, R10 = H, C1-6 alkyl or perfluoroalkyl, aryl, heteroaryl,



RN 199608-88-3 CAPLUS
CN 1H-[1]Benzopyrano[3,4-f]quinoline, 5-(3-bromo-5-methylphenyl)-2,5-dihydro-2,2,4-trimethyl- (9CI) (CA INDEX NAME)



RN 199608-89-4 CAPLUS
CN 1H-[1]Benzopyrano[3,4-f]quinoline, 5-[4-fluoro-3-(trifluoromethyl)phenyl]-2,5-dihydro-2,2,4-trimethyl- (9CI) (CA INDEX NAME)



L4 ANSWER 23 OF 23 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:494197 CAPLUS
DOCUMENT NUMBER: 125:142697
TITLE: Preparation of quinolines and fused quinolines as steroid receptor modulators
INVENTOR(S): Jones, Todd K.; Goldman, Mark E.; Pooley, Charlotte L. F.; Winn, David T.; Edwards, James E.; West, Sarah J.; Tegley, Christopher M.; Zhi, Lin; Hamann, Lawrence G.;

et al.
PATENT ASSIGNEE(S): Ligand Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 403 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 12
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9619458	A2	19960627	WO 1995-US16096	19951213
WO 9619458	A3	19961212		
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5688808	A	19971118	US 1995-463231	19950605
US 5688810	A	19971118	US 1995-464541	19950605
US 5693646	A	19971202	US 1995-464360	19950605
US 5693647	A	19971202	US 1995-464546	19950605
US 5696130	A	19971209	US 1995-462643	19950605
US 5696127	A	19971209	US 1995-465429	19950605
US 5696133	A	19971209	US 1995-465556	19950605
AU 9645977	A1	19960710	AU 1996-45977	19951213
AU 717251	B2	20000323		
EP 800519	A1	19971015	EP 1995-944089	19951213
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HU 78121	A2	19991228	HU 1999-1914	19951213
RU 2191774	C2	20021027	RU 1997-112141	19951213
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AU 762398	B2	20030626	AU 2000-27761	20000414
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US 1994-363529	A	19941222
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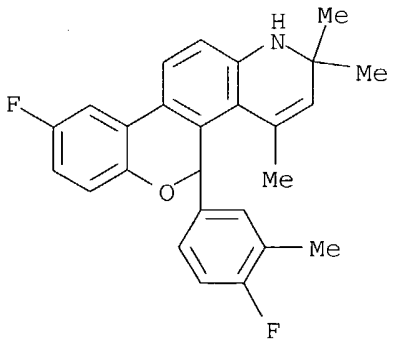

RN 179897-81-5 CAPLUS
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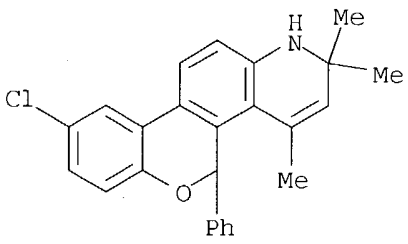
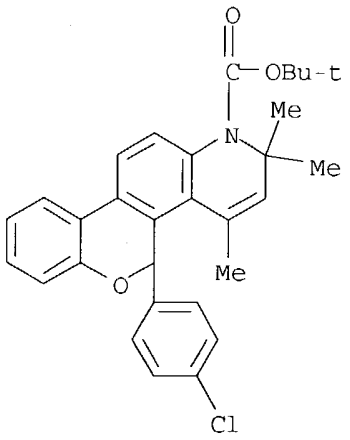


IT **179898-20-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of quinolines and fused quinolines as steroid receptor modulators)
RN 179898-20-5 CAPLUS
CN 1H-[1]Benzopyrano[3,4-f]quinoline-1-carboxylic acid, 5-(4-chlorophenyl)-2,5-dihydro-2,2,4-trimethyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



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L3           325 S L1 FULL

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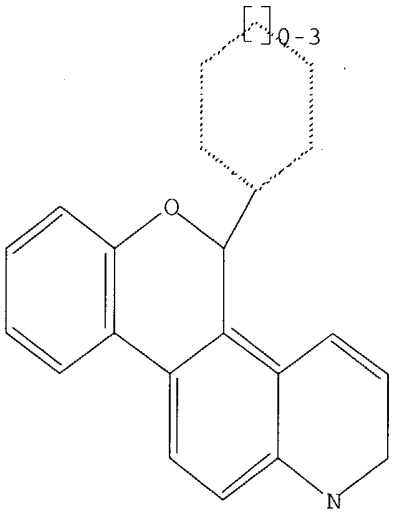


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G1 C,O,S,N

Structure attributes must be viewed using STN Express query preparation.

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PALM INTRANET

Day : Monday
Date: 12/27/2004
Time: 16:05:51

Inventor Name Search Result

Your Search was:

Last Name = ZHI
First Name = LIN

Application#	Patent#	Status	Date Filed	Title	In N 5
60552690	Not Issued	020	03/12/2004	ANDROGEN RECEPTOR MODULATOR COMPOUNDS AND METHODS	Z L
60548154	Not Issued	020	02/25/2004	GLUCOCORTICOID RECEPTOR MODULATOR COMPOUNDS AND METHODS	Z L
60497125	Not Issued	159	08/22/2003	6-CYCLOAMINO-2-QUINOLINONE DERIVATIVES AS ANDROGEN RECEPTOR MODULATOR COMPOUNDS	Z L
60447841	Not Issued	160	02/14/2003	USE OF ENDOGENOUS TISSUE SPECIFIC ENZYMES FOR ADMINISTRATION OF PHARMACEUTICALLY ACTIVE COMPOUNDS HAVING TISSUE SPECIFIC PHARMACEUTICAL ACTIVITY	Z L
60271189	Not Issued	159	02/23/2001	TRICYCLIC ANDROGEN RECEPTOR MODULATOR COMPOUNDS AND METHODS	Z L
60271115	Not Issued	159	02/23/2001	TRICYCLIC QUINOLINONE AND TRICYCLIC QUINOLINE ANDROGEN RECEPTOR MODULATOR COMPOUNDS AND METHODS	Z L
60183042	Not Issued	159	05/04/1999	CYCLIC REGIMENS USING QUINAZOLINONE AND BENZOXAZINE DERIVATIVES	Z L
10767813	Not Issued	030	01/29/2004	CYCLOCARBAMATE DERIVATIVES AS PROGESTERONE RECEPTOR MODULATORS	Z L
10739933	Not Issued	041	12/17/2003	STEROID RECEPTOR MODULATOR COMPOUNDS AND METHODS	Z L
10684229	Not Issued	030	10/10/2003	5-CYCLOALKENYL 5H-CHROMENO[3,4-F]QUINOLINE DERIVATIVES AS SELECTIVE PROGESTERONE RECEPTOR MODULATOR COMPOUNDS	Z L
10684227	Not Issued	030	10/10/2003	5-(1',1'-CYCLOALKYL/ALKENYL)METHYLIDENE 1,2-DIHYDRO-5H-CHROMENO[3,4-F]QUINOLINES AS SELECTIVE PROGESTERONE RECEPTOR MODULATOR COMPOUNDS	Z L

10684212	Not Issued	020	10/10/2003	5-SUBSTITUTED 7,9-DIFLUORO-5H-CHROMENO[3,4-F]QUINOLINE COMPOUNDS AS SELECTIVE PROGESTERONE RECEPTOR MODULATOR COMPOUNDS	ZL
10456892	Not Issued	030	06/06/2003	INDOLINE DERIVATIVES	ZL
10420276	6841568	150	04/22/2003	THIO-OXINDOLE DERIVATIVES	ZL
10386799	6713478	150	03/12/2003	CYCLOCARBAMATE DERIVATIVES AS PROGESTERONE RECEPTOR MODULATORS	ZL
10342719	Not Issued	041	01/15/2003	CYANOPYRROLES	ZL
10153393	6544970	150	05/22/2002	CYCLIC REGIMENS UTILIZING INDOLINE DERIVATIVES	ZL
10141792	6759408	150	05/09/2002	COMBINATION REGIMENS USING PROGESTERONE RECEPTOR MODULATORS	ZL
10140034	Not Issued	040	05/06/2002	CYCLOTHIOCARBAMATE DERIVATIVES AS PROGESTERONE RECEPTOR MODULATORS	ZL
10131379	6835744	150	04/24/2002	3,3-SUBSTITUTED INDOLINE DERIVATIVES	ZL
10117156	Not Issued	061	04/05/2002	THIO-OXINDOLE DERIVATIVES	ZL
10091222	6794373	150	03/01/2002	CONTRACEPTIVE METHODS USING BENZIMIDAZOLONES	ZL
10080926	Not Issued	120	02/22/2002	TRICYCLIC ANDROGEN RECEPTOR MODULATOR COMPOUNDS AND METHODS	ZL
10080503	Not Issued	041	02/22/2002	TRICYCLIC QUINOLINONE AND TRICYCLIC QUINOLINE ANDROGEN RECEPTOR MODULATOR COMPOUNDS AND METHODS	ZL
10023063	6693103	150	12/17/2001	1,2,3,4-TETRAHYDRO-2-THIOXO-QUINOLINYL AND 1,2,3,4-TETRAHYDRO-2-OXO-QUINOLINYL DERIVATIVES AS PROGESTERONE RECEPTOR MODULATORS	ZL
10022467	6521657	150	10/30/2001	THIO-OXINDOLE DERIVATIVES	ZL
09989710	Not Issued	160	11/19/2001	COMPOUNDS HAVING SELECTIVE ACTIVITY FOR RETINOID X RECEPTORS, AND MEANS FOR MODULATION OF PROCESSES MEDIATED BY RETINOID X RECEPTORS	ZL
09977790	6503939	150	10/15/2001	COMBINATION REGIMENS USING 3,3-SUBSTITUTED INDOLINE DERIVATIVES	ZL
09948309	6566358	150	09/06/2001	CYCLOCARBAMATE DERIVATIVES AS PROGESTERONE RECEPTOR MODULATORS	ZL
09906875	6441019	150	07/17/2001	CYCLOCARBAMATE AND CYCLIC AMIDE	Z

				DERIVATIVES	L
09649466	6566372	150	08/24/2000	BICYCLIC ANDROGEN AND PROGESTERONE RECEPTOR MODULATOR COMPOUNDS AND METHODS	Z L
09648684	6462038	150	08/25/2000	ANDROGEN RECEPTOR MODULATOR COMPOUNDS AND METHODS	Z L
09552633	6509334	150	04/19/2000	CYCLOCARBAMATE DERIVATIVES AS PROGESTERONE RECEPTOR MODULATORS	Z L
09552632	6391907	150	04/19/2000	INDOLINE DERIVATIVES	Z L
09552631	6329416	150	04/19/2000	COMBINATION REGIMENS USING 3,3-SUBSTITUTED INDOLINE DERIVATIVES	Z L
09552630	6339098	150	04/19/2000	2,1-BENZISOTHIAZOLINE 2,2-DIOXIDES	Z L
09552629	6358948	150	04/19/2000	QUINAZOLINONE AND BENZOXAZINE DERIVATIVES AS PROGESTERONE RECEPTOR MODULATORS	Z L
09552546	6380235	150	04/19/2000	BENZIMIDAZOLONES AND ANALOGUES	Z L
09552545	6380178	150	04/19/2000	CYCLIC CONTRACEPTIVE REGIMENS USING CYCLOCARBAMATE AND CYCLIC AMIDE DERIVATIVES	Z L
09552358	6462032	150	04/19/2000	CYCLIC REGIMENS UTILIZING INDOLINE DERIVATIVES	Z L
09552357	6498154	150	04/19/2000	CYCLIC REGIMENS USING QUINAZOLINONE AND BENZOXAZINE DERIVATIVES	Z L
09552356	6369056	150	04/19/2000	CYCLIC UREA AND CYCLIC AMIDE DERIVATIVES	Z L
09552355	6423699	150	04/19/2000	CONTRACEPTIVE METHODS USING BENZIMIDAZOLONES	Z L
09552354	6436929	150	04/19/2000	CYCLOTHIOCARBAMATE DERIVATIVES AS PROGESTERONE RECEPTOR MODULATORS	Z L
09552353	6358947	150	04/19/2000	TETRACYCLIC PROGESTERONE RECEPTOR MODULATOR COMPOUNDS AND METHODS	Z L
09552352	6417214	150	04/19/2000	3,3-SUBSTITUTED INDOLINE DERIVATIVES	Z L
09552350	6444668	150	04/19/2000	COMBINATION REGIMENS USING PROGESTERONE RECEPTOR MODULATORS	Z L
09552038	6319912	150	04/19/2000	CYCLIC REGIMENS USING 2,1-BENZISOTHIAZOLINE 2,2-DIOXIDES	Z L
09552037	6399593	150	04/19/2000	CYCLIC REGIMENS USING CYCLIC UREA AND CYCLIC AMIDE DERIVATIVES	Z L
09552036	6306851	150	04/19/2000	CYCLOCARBAMATE AND CYCLIC AMIDE DERIVATIVES	Z L

09552033	6355648	150	04/19/2000	THIO-OXINDOLE DERIVATIVES	ZL

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